

10/715,794

* * * * * STN Columbus * * * * *

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L1 STRUCTURE UPLOADED

=> s l1 full

L3 0 SEA SSS FUL L1

=> file marpat

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L4 31 SEA SSS FUL L1

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L5 5 L4/COM

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10/715,794

L5 ANSWER 1 OF 5 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

138:56082 MARPAT

TITLE:

Preparation of phosphorus-substituted quinolines as therapeutic agents

INVENTOR(S):

Wang, Yihan; Metcalf, Chester A., III; Shakespeare, William C.; Sawyer, Tomi K.; Rohacek, Regine

PATENT ASSIGNEE(S):

Ariad Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000705	A1	20030103	WO 2002-US19672	20020621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003105065	A1	20030605	US 2002-177990	20020621
US 6706699	B2	20040316		
EP 2412367	A1	20040428	EP 2002-756260	20020621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004152671	A1	20040805	US 2003-716239	20031117
US 2001-299918P				
US 2002-177990				
WO 2002-US19672				

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phosphorus-substituted quinolines [e.g., I; wherein X = O, S, amino; R₁ = H, O, aliph., heteroaliph., aryl, heteroaryl; R₂ = aliph., heteroaliph., aryl, heteroaryl; R₃, R₄, R₅, R₆, R₇, independently = H, aliph., heteroaliph., aryl, heteroaryl, halo, cyano, alkylcarbonyl, etc.; R₅ = aryl, heteroaryl; R₈ = H, aliph., heteroaliph.; AK = (CR₉CR₁₀) (wherein R₉, R₁₀, independently = H, aliph.); p = 0, 1, 2, 3; q = 0, 1, 2, 3, 4, 5; r = 0, 1, 2; at least one of R₂ or R₅ is a phosphorus-contg. moiety] were prepd. Compd. (II) is exemplary. The prepd. compds. are useful as, inter alia, anticancer agents, antiproliferative agents, and agents for the treatment of osteoporosis (no data).

L5 ANSWER 2 OF 5 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

137:63253 MARPAT

TITLE:

Preparation of farnesyl transferase inhibiting 4-heterocyclylquinolines and 4-heterocyclylquinazolines

INVENTOR(S):

Angibaud, Patrick Rene; Venet, Marc Gaston; Poncelet, Virginie Sophie

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

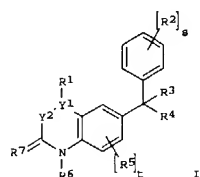
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051834	A1	20020704	WO 2001-EP15232	20011221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1351954	A1	20031015	EP 2001-995712	20011221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004516322	T2	20040603	JP 2002-552929	20011221
US 2004067968	A1	20040408	US 2003-250381	20030626
EP 2000-204716				
WO 2001-EP15232				

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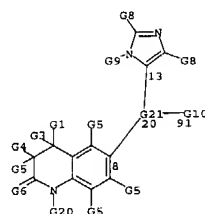
AB The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR₉, CHNR₉, CHCHR₉ (wherein R₉ = H, halo, CN, etc.); R₁ = ZHet (Z = a bond, O, S, etc.; Het = (un)substituted monocyclic or bicyclic heterocyclic ring contg. one or more heteroatoms selected from O, S and N); R₂ = N₃, OH, halo, etc.; R₃ = H, halo, CN, etc.; R₄ = (un)substituted imidazolyl, triazolyl, pyridyl;

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L5 ANSWER 1 OF 5 MARPAT COPYRIGHT 2004 ACS on STN

(Continued)

MSTR 1



10/715,794

L5 ANSWER 2 OF 5 MARPAT COPYRIGHT 2004 ACS ON STN (Continued)



G27 = Ph (SO (1-) G28)
 G29 = OH (SO)
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts or N-oxides
 NTE: also incorporates claim 8
 NTE: additional substitution also claimed
 NTE: substitution is restricted
 STE: or stereochemically isomeric forms

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

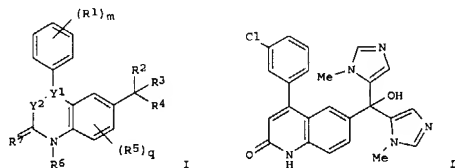
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L5 ANSWER 3 OF 5 MARPAT COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 136:279472 MARPAT
 TITLE: Preparation of 6-heterocyclylmethyl quinolinone derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
 INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Mevellec, Laurence Anne
 PATENT ASSIGNER(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024687	A1	20020328	WO 2001-EP10975	20010918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, HJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001093835	A5	20020402	AU 2001-93835	20010918
EP 1322644	A1	20030702	EP 2001-974284	20010918
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JP 2004521863	T2	20040722	JF 2002-529097	20010918
US 2003199547	A1	20031023	US 2003-381362	20030324
PRIORITY APPLN. INFO.:			EP 2000 203368	20000925
			EP 2001-202189	20010607
			WO 2001-EP10975	20010918

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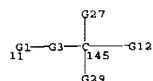
L5 ANSWER 3 OF 5 MARPAT COPYRIGHT 2004 ACS ON STN (Continued)
 AB Title compds. I [wherein n = independently 0-5; q = 0-3; Y1Y2 = C:CR9 or CH:CH9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy(alkyl), aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy,

alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH:CH:CH, CH:CH:CH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S;

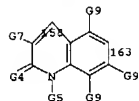
or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N:; or pharmaceutically acceptable salts, N oxides, or stereochem. isomeric forms thereof were prep'd. For example, cyclization of N [4-bromo-2-(3-chlorobenzoyl)phenyl]acetamide (3-step prepn. given) using t-BuOH.bul.K

in DME afforded 6-bromo-4-(3-chlorophenyl)-2(1H) quinoline (80.76%), which was then methoxylated (86%). Addn. of bis(1-methyl-1H imidazol-5-yl)methanone in the presence of BuLi in THF to give the .alpha.,.alpha.-bis(1-methyl-1H-imidazol-5-yl) 6-quinolinemethanol (5%), followed by reflux in HCl and THF overnight, produced 11.bul.2HCl (quant.). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

MSTR 1

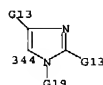


G1 = Ph (SO (1-) G35)
 G3 = 158-11 163-145



G4 = O
 G12 = 344

L5 ANSWER 3 OF 5 MARPAT COPYRIGHT 2004 ACS ON STN (Continued)



G16 = alkylene<(1-6)>
 G20 = 385



G27 = Hy<EC (0-) N (0-) O (0) S (0) OTHERO, RC (1-2)>
 (SO (1-2) G28)
 G29 = OH
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts or N-oxides
 NTE: also incorporates claim 8
 NTE: substitution is restricted
 STE: or stereochemically isomeric forms

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

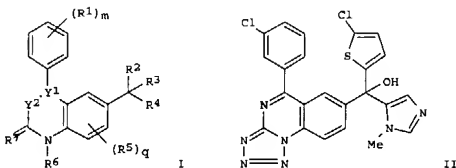
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L5 ANSWER 4 OF 5 MARPAT COPYRIGHT 2004 ACS on STN

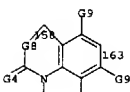
ACCESSION NUMBER: 136:279471 MARPAT
 TITLE: Preparation of 6-heterocyclylmethyl quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
 INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Mevellec, Laurence Anne
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024686	A2	20020328	WO 2001-EP10894	20010918
WO 2002024686	A3	20020613		
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG AU 2002020559 A5 20020402 AU 2002-20559 20010918 EP 1322650 A2 20030702 EP 2001-985254 20010918 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2004509887 T2 20040402 JP 2002-529096 20010918 US 2003207887 A1 20031106 US 2003-381361 20030324 EP 2000-203366 20000925 EP 2001-202190 20010607 WO 2001-EP10894 20010918				

PRIORITY APPLN. INFO.:
 GI



L5 ANSWER 4 OF 5 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G4 = O
 G8 = 174



G12 = 344



G16 = alkylene<(1-6)>

G20 = 385



G27 = Hy<EC (0-) N (0-) O (0-) S (0) OTHERO, RC (1-2)>
 (SO (1 2) G28)
 G29 = OH
 MP1: claim 1
 NTE: or pharmaceutically acceptable salts or N oxides
 NTE: also incorporates claim 8
 NTE: substitution is restricted
 STE: or stereochemically isomeric forms

L5 ANSWER 4 OF 5 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy(alkyl), aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH2CH2, OCH2CH2CH2, CH2CH2CH2; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N:; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, 2,2,2-trichloro-N-(2-(3-chlorobenzoyl)-4-[(5-chloro-2-thienyl)carbonyl]phenyl)acetamide (5-step prepn. given) was cyclized with ammonium acetate in DMSO to give 4-(3-chlorophenyl)-6-[(5-chloro-2-thienyl)carbonyl]-2(1H)-quinazolinone (83.8%). Chlorination (88.4%), followed by addn. of 1-methyl 1H imidazole in the presence of BuLi and SiEt3Cl in THF, afforded the .alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinazolinemethanol. Cycloaddn. with NaN3 in DMF gave the tetrazolo[1,5-a]quinazoline-7-methanol II (66%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

MPTR 1



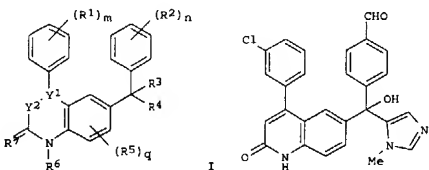
G1 = Ph (SO (1-) G35)
 G3 = 158 11 163-145

L5 ANSWER 5 OF 5 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 136:279470 MARPAT
 TITLE: Preparation of 6-[(substituted phenyl)methyl]quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
 INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Saha, Aashis Kumar; Mevellec, Laurence Anne
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024683	A1	20020328	WO 2001-EP10895	20010918
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TH, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG AU 2001093829 A5 20020402 AU 2001-93829 20010918 EP 1322636 A1 20030702 EP 2001-974276 20010918 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2004509884 T2 20040402 JP 2002-529093 20010918 US 2004048882 A1 20040311 US 2003-381556 20030324 EP 2000-203366 20000925 WO 2001-EP10895 20010918				

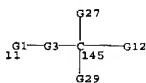
PRIORITY APPLN. INFO.:
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AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl,

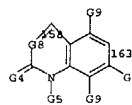
L5 ANSWER 5 OF 5 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy(alkyl), aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocycloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH;
R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN,
OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N:, or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof) were prepd. For example, 6-bromo-2-chloro 4-(3-chlorophenyl)quinoline (6-step prepn. given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO2 in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addn. of 1-methyl-1H-imidazole in the presence of BuLi and ClSiEt3 in THF, gave 4-(3-chlorophenyl)-.alpha.-[4-(diethoxymethyl)phenyl]-2-methoxy .alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H2O, and stirred at room temp. for 1 h to afford the quinolinone II.bul.HCl (98%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

MSTR 1



G1 = Ph (SO (1-)) G35)
G3 = 158-11 163-145

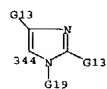
L5 ANSWER 5 OF 5 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G4 = O
G8 = 174

G7 = 174

G12 = 344



G16 = alkylene<(1-6)>
G20 = 385



G27 = Ph (SO (1-)) G28)

G29 = OH

MPL: claim 1

NTE: or pharmaceutically acceptable salts or N-oxides

NTE: also incorporates claim 8

NTE: substitution is restricted

STE: or stereochemically isomeric forms

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

10/715,794

=> d his

(FILE 'HOME' ENTERED AT 11:21:52 ON 30 SEP 2004)

FILE 'REGISTRY' ENTERED AT 11:21:59 ON 30 SEP 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 0 S L1 FULL

FILE 'MARPAT' ENTERED AT 11:22:23 ON 30 SEP 2004

L4 31 S L1 FULL

L5 5 S L4/COM

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 11:25:01 ON 30 SEP 2004